

## WHAT IS CLAIMED IS:

1. A conjugate comprising a ligand, a linker, and a cytotoxic agent, in which the linker is FALA (SEQ ID NO: 1).
2. The conjugate of claim 1, wherein the ligand is a peptide or a peptidomimetic.
3. The conjugate of claim 2, wherein the peptidomimetic is a peptoid.
4. The conjugate of any of claims 1-3, wherein the ligand specifically binds to a receptor selected from the group consisting of:
  - the gastrin (cholecystokinin B (CCKB)) receptor,
  - the cholecystokinin A (CCKA) receptor,
  - the somatostatin receptor,
  - the gastrin-releasing peptide (GRP) receptor,
  - the substance P (neurokinin 1 (NK1)) receptor,
  - the guanylin receptor, and
  - the vasoactive intestinal peptide 1 (VIP-1) receptor.
5. The conjugate of claim 4, wherein the ligand is selected from the group consisting of:
  - LGPQGPPHLVADPSKKQGPWLEEEEEAYGWMDf (gastrin-34) (SEQ ID NO: 5),
  - an N-terminal truncated derivative of gastrin-34, and
  - W(Nle)DF (SEQ ID NO: 6).
6. The conjugate of claim 4, wherein the ligand is selected from the group consisting of:
  - D(SfY)MGWMDf (SEQ ID NO: 7),
  - D(SfY)(Nle)GW(Nle)DF (SEQ ID NO: 8), and
  - EEEAYGW(Nle)DF (SEQ ID NO: 20).
7. The conjugate of claim 4, wherein the ligand is selected from the group consisting of:
  - VPLPAGGGTVLTKMYPRGNHWAVGHLM (SEQ ID NO: 9),

an N-terminal truncated derivative of  
VPLPAGGGTVLTKMYPRGNHWAVGHLM (SEQ ID NO: 9), and  
WAVGHLM (SEQ ID NO: 10).

8. The conjugate of claim 4, wherein the ligand is selected from the group consisting of:

AGCKNFFWKTFTSC (SEQ ID NO: 11), in which the two C residues are disulfide bonded, and

FCFWKTCT(OH) (SEQ ID NO: 12), in which the two C residues are disulfide bonded.

9. The conjugate of claim 4, wherein the ligand is selected from the group consisting of:

RPLPQQFFGLM (SEQ ID NO: 13) and

an analog of RPLPQQFFGLM (SEQ ID NO: 13).

10. The conjugate of claim 4, wherein the ligand is selected from the group consisting of:

PGTCEICAYAACTGC (SEQ ID NO: 14), in which the first and third C residues are disulfide bonded, and

PGTCEICAYAACTGC (SEQ ID NO: 14), in which the second and fourth C residues are disulfide bonded.

11. The conjugate of claim 4, wherein the ligand is selected from the group consisting of:

NDDCELCVACTGCL (SEQ ID NO: 15), in which the first and third C residues are disulfide bonded, and

NDDCELCVACTGCL (SEQ ID NO: 15), in which the second and fourth C residues are disulfide bonded.

12. The conjugate of claim 4, wherein the ligand is selected from the group consisting of:

NYCCELCNPACTGCF (SEQ ID NO: 16), in which the first and fourth C residues are disulfide bonded,

NYCCELCNPACTGCF (SEQ ID NO: 16), in which the second and fifth C residues are disulfide bonded, and

NYCCELCCNPACTGCF (SEQ ID NO: 16), in which the third and sixth C residues are disulfide bonded.

13. The conjugate of claim 4, wherein the ligand is selected from the group consisting of:

HSDALFTDNYTRLRLQMAVKKYLNSILNG (SEQ ID NO: 17) and  
HSDALFTDNYTRLRLQ(Nle)AVKKYLNSILNG (SEQ ID NO: 18).

14. The conjugate of any of claims 1-13, wherein the cytotoxic agent is selected from the group consisting of:

cemadotin,  
a derivative of cemadotin,  
a derivative of hemiasterlin,  
esperamicin C,  
neocarzinostatin,  
maytansinoid DM1,  
7-chloromethyl-10,11 methylenedioxy-camptothecin,  
rhizoxin, and  
the halichondrin B analog, ER-086526.

15. A conjugate comprising a ligand, a linker, and a cytotoxic agent, in which the linker is VLALA (SEQ ID NO: 2).

16. The conjugate of claim 15, wherein the ligand is a peptide or a peptidomimetic.

17. The conjugate of claim 16, wherein the peptidomimetic is a peptoid.

18. The conjugate of any of claims 15-17, wherein the ligand specifically binds to a receptor selected from the group consisting of:

the gastrin (CCKB) receptor,  
the CCKA receptor,  
the somatostatin receptor,  
the GRP receptor,  
the substance P (NK1) receptor,  
the guanylin receptor, and  
the VIP-1 receptor.

19. The conjugate of claim 18, wherein the ligand is selected from the group consisting of:

LGPQGPPHLVADPSKKQGPWLEEEEA YGW MDF (gastrin-34) (SEQ ID NO: 5),  
an N-terminal truncated derivative of gastrin-34, and  
W(Nle)DF (SEQ ID NO: 6).

20. The conjugate of claim 18, wherein the ligand is selected from the group consisting of:

D(SfY)MGW MDF (SEQ ID NO: 7),  
D(SfY)(Nle)GW(Nle)DF (SEQ ID NO: 8), and  
EEEA YGW(Nle)DF (SEQ ID NO: 20).

21. The conjugate of claim 18, wherein the ligand is selected from the group consisting of:

VPLPAGGGTVLTKMYPRGNHWAVGHLM (SEQ ID NO: 9),  
an N-terminal truncated derivative of  
VPLPAGGGTVLTKMYPRGNHWAVGHLM (SEQ ID NO: 9), and  
WAVGHLM (SEQ ID NO: 10).

22. The conjugate of claim 18, wherein the ligand is selected from the group consisting of:

AGCKNFFWKTFTSC (SEQ ID NO: 11), in which the two C residues are  
disulfide bonded, and  
FCFWKTCT(OH) (SEQ ID NO: 12), in which the two C residues are  
disulfide bonded.

23. The conjugate of claim 18, wherein the ligand is selected from the group consisting of:

RPLPQQFFGLM (SEQ ID NO: 13) and  
an analog of RPLPQQFFGLM (SEQ ID NO: 13).

24. The conjugate of claim 18, wherein the ligand is selected from the group consisting of:

PGTCEICAYAACTGC (SEQ ID NO: 14), in which the first and third C residues are disulfide bonded, and

PGTCEICAYAACTGC (SEQ ID NO: 14), in which the second and fourth C residues are disulfide bonded.

25. The conjugate of claim 18, wherein the ligand is selected from the group consisting of:

NDDCELCVACTGCL (SEQ ID NO: 15), in which the first and third C residues are disulfide bonded, and

NDDCELCVACTGCL (SEQ ID NO: 15), in which the second and fourth C residues are disulfide bonded.

26. The conjugate of claim 18, wherein the ligand is selected from the group consisting of:

NYCCELCNPACTGCF (SEQ ID NO: 16), in which the first and fourth C residues are disulfide bonded,

NYCCELCNPACTGCF (SEQ ID NO: 16), in which the second and fifth C residues are disulfide bonded, and

NYCCELCNPACTGCF (SEQ ID NO: 16), in which the third and sixth C residues are disulfide bonded.

27. The conjugate of claim 18, wherein the ligand is selected from the group consisting of:

HSDALFTDNYTRLRLQMAVKKYLNSILNG (SEQ ID NO: 17) and  
HSDALFTDNYTRLRLQ(Nle)AVKKYLNSILNG (SEQ ID NO: 18).

28. The conjugate of any of claims 15-27, wherein the cytotoxic agent is selected from the group consisting of:

cemadotin,

a derivative of cemadotin,

a derivative of hemiasterlin,

esperamicin C,

neocarzinostatin,

maytansinoid DM1,

7-chloromethyl-10,11 methylenedioxy-camptothecin,

rhizoxin, and

the halichondrin B analog, ER-086526.

29. A conjugate comprising a ligand, a linker and a cytotoxic agents, in which the linker is ALAL (SEQ ID NO: 3), and wherein the ligand is selected from the group consisting of:

LGPQGPPHLVADPSKKQGPWLEEEEEAYGWMDf (gastrin-34) (SEQ ID NO: 5),

an N-terminal truncated derivative of gastrin-34, and  
W(Nle)DF (SEQ ID NO: 6).

30. A conjugate comprising a ligand, a linker and a cytotoxic agent, in which the linker is ALAL (SEQ ID NO: 3), and wherein the ligand is selected from the group consisting of:

D(SfY)MGWMDf (SEQ ID NO: 7),

D(SfY)(Nle)GW(Nle)DF (SEQ ID NO: 8), and

EEEAYGW(Nle)DF (SEQ ID NO: 20).

31. A conjugate comprising a ligand, a linker and a cytotoxic agent, in which the linker is ALAL (SEQ ID NO: 3), and wherein the ligand is selected from the group consisting of:

VPLPAGGGTVLTKMYPRGNHWAVGHLM (SEQ ID NO: 9),

an N-terminal truncated derivative of

VPLPAGGGTVLTKMYPRGNHWAVGHLM (SEQ ID NO: 9), and  
WAVGHLM (SEQ ID NO: 10).

32. A conjugate comprising a ligand, a linker and a cytotoxic agent, in which the linker is ALAL (SEQ ID NO: 3), and wherein the ligand is selected from the group consisting of:

AGCKNFFWKTFTSC (SEQ ID NO: 11), in which the two C residues are disulfide bonded, and

FCFWKTCT(OH) (SEQ ID NO: 12), in which the two C residues are disulfide bonded.

33. A conjugate comprising a ligand, a linker and a cytotoxic agent, in which the linker is ALAL (SEQ ID NO: 3), and wherein the ligand is selected from the group consisting of:

RPLPQQFFGLM (SEQ ID NO: 13) and  
an analog of RPLPQQFFGLM (SEQ ID NO: 13).

34. A conjugate comprising a ligand, a linker and a cytotoxic agent, in which the linker is ALAL (SEQ ID NO: 3), and wherein the ligand is selected from the group consisting of:

PGTCEICAYAACTGC (SEQ ID NO: 14), in which the first and third C residues are disulfide bonded, and

PGTCEICAYAACTGC (SEQ ID NO: 14), in which the second and fourth C residues are disulfide bonded.

35. A conjugate comprising a ligand, a linker and a cytotoxic agent, in which the linker is ALAL (SEQ ID NO: 3), and wherein the ligand is selected from the group consisting of:

NDDCELCVACTGCL (SEQ ID NO: 15), in which the first and third C residues are disulfide bonded, and

NDDCELCVACTGCL (SEQ ID NO: 15), in which the second and fourth C residues are disulfide bonded.

36. A conjugate comprising a ligand, a linker and a cytotoxic agent, in which the linker is ALAL (SEQ ID NO: 3), and wherein the ligand is selected from the group consisting of:

NYCCELCNPACTGCF (SEQ ID NO: 16), in which the first and fourth C residues are disulfide bonded,

NYCCELCNPACTGCF (SEQ ID NO: 16), in which the second and fifth C residues are disulfide bonded, and

NYCCELCNPACTGCF (SEQ ID NO: 16), in which the third and sixth C residues are disulfide bonded.

37. A conjugate comprising a ligand, a linker and a cytotoxic agent, in which the linker is ALAL (SEQ ID NO: 3), and wherein the ligand is selected from the group consisting of:

HSDALFTDNYTRLRLQMAVKKYLSILNG (SEQ ID NO: 17) and  
HSDALFTDNYTRLRLQ(Nle)AVKKYLSILNG (SEQ ID NO: 18).

38. The conjugate of any of claims 29-37, wherein the cytotoxic agent, is selected from the group consisting of:

cemadotin,  
a derivative of cemadotin,  
a derivative of hemiasterlin,  
esperamicin C,  
neocarzinostatin,  
maytansinoid DM1,  
7-chloromethyl-10,11 methylenedioxy-camptothecin,  
rhizoxin, and  
the halichondrin B analog, ER-086526.

39. A conjugate comprising a ligand, a linker, and a cytotoxic agent, in which the linker is ALALA (SEQ ID NO: 4), wherein the ligand is selected from the group consisting of:

LGPQGPPHLVADPSKKQGPWLEEEEEAYGWMDF (gastrin-34) (SEQ ID NO: 5),

an N-terminal truncated derivative of gastrin-34, provided that the derivative is not AYGW(Nle)DF (SEQ ID NO: 19), and

W(Nle)DF (SEQ ID NO: 6).

40. A conjugate comprising a ligand, a linker, and a cytotoxic agent, in which the linker is ALALA (SEQ ID NO: 4), wherein the ligand is selected from the group consisting of:

D(SfY)MGWMDF (SEQ ID NO: 7),

D(SfY)(Nle)GW(Nle)DF (SEQ ID NO: 8), and

EEEAYGW(Nle)DF (SEQ ID NO: 20).

41. A conjugate comprising a ligand, a linker, and a cytotoxic agent, in which the linker is ALALA (SEQ ID NO: 4), wherein the ligand is selected from the group consisting of:

VPLPAGGGTVLTKMYPRGNHWAVGHLM (SEQ ID NO: 9),

an N-terminal truncated derivative of

VPLPAGGGTVLTKMYPRGNHWAVGHLM (SEQ ID NO: 9), and

WAVGHLM (SEQ ID NO: 10).



42. A conjugate comprising a ligand, a linker, and a cytotoxic agent, in which the linker is ALALA (SEQ ID NO: 4), wherein the ligand is selected from the group consisting of:
- AGCKNFFWKTFTSC (SEQ ID NO: 11), in which the two C residues are disulfide bonded, and
- FCFWKTCT(OH) (SEQ ID NO: 12), in which the two C residues are disulfide bonded.
43. A conjugate comprising a ligand, a linker, and a cytotoxic agent, in which the linker is ALALA (SEQ ID NO: 4), wherein the ligand is selected from the group consisting of:
- RPLPQQFFGLM (SEQ ID NO: 13) and
- an analog of RPLPQQFFGLM (SEQ ID NO: 13).
44. A conjugate comprising a ligand, a linker, and a cytotoxic agent, in which the linker is ALALA (SEQ ID NO: 4), wherein the ligand is selected from the group consisting of:
- PGTCEICAYAACTGC (SEQ ID NO: 14), in which the first and third C residues are disulfide bonded, and
- PGTCEICAYAACTGC (SEQ ID NO: 14), in which the second and fourth C residues are disulfide bonded.
45. A conjugate comprising a ligand, a linker, and a cytotoxic agent, in which the linker is ALALA (SEQ ID NO: 4), wherein the ligand is selected from the group consisting of:
- NDDCELCVACTGCL (SEQ ID NO: 15), in which the first and third C residues are disulfide bonded, and
- NDDCELCVACTGCL (SEQ ID NO: 15), in which the second and fourth C residues are disulfide bonded.
46. A conjugate comprising a ligand, a linker, and a cytotoxic agent, in which the linker is ALALA (SEQ ID NO: 4), wherein the ligand is selected from the group consisting of:
- NYCCELCNPACTGCF (SEQ ID NO: 16), in which the first and fourth C residues are disulfide bonded,

NYCCELCCNPACTGCF (SEQ ID NO: 16), in which the second and fifth C residues are disulfide bonded, and

NYCCELCCNPACTGCF (SEQ ID NO: 16), in which the third and sixth C residues are disulfide bonded.

47. A conjugate comprising a ligand, a linker, and a cytotoxic agent, in which the linker is ALALA (SEQ ID NO: 4), wherein the ligand is selected from the group consisting of:

HSDALFTDNYTRLRLQMAVKKYLSILNG (SEQ ID NO: 17) and  
HSDALFTDNYTRLRLQ(Nle)AVKKYLSILNG (SEQ ID NO: 18).

48. The conjugate of any of claims 39-47, wherein the cytotoxic agent is selected from the group consisting of:

cemadotin,  
a derivative of cemadotin,  
a derivative of hemiasterlin,  
esperamicin C,  
neocarzinostatin,  
maytansinoid DM1,  
7-chloromethyl-10,11 methylenedioxy-camptothecin,  
rhizoxin, and  
the halichondrin B analog, ER-086526.

49. A conjugate comprising a ligand, a linker, and a cytotoxic agent, in which the linker is ChaLALA (SEQ ID NO: 21), ChaChaLAL (SEQ ID NO: 22), NalChaLAL (SEQ ID NO: 23) or NalLALA (SEQ ID NO: 24).

50. The conjugate of claim 49, wherein the ligand is a peptide or a peptidomimetic.

51. The conjugate of claim 50, wherein the peptidomimetic is a peptoid.

52. The conjugate of any of claims 49-51, wherein the ligand specifically binds to a receptor selected from the group consisting of:

the gastrin (cholecystokinin B (CCKB)) receptor,  
the cholecystokinin A (CCKA) receptor,  
the somatostatin receptor,

the gastrin-releasing peptide (GRP) receptor,  
the substance P (neurokinin 1 (NK1)) receptor,  
the guanylin receptor, and  
the vasoactive intestinal peptide 1 (VIP-1) receptor.

53. The conjugate of claim 52, wherein the ligand is selected from the group consisting of:

LGPQGPPHLVADPSKKQGPWLEEEEEAYGWMDf (gastrin-34) (SEQ ID NO: 5),  
an N-terminal truncated derivative of gastrin-34, and  
W(Nle)DF (SEQ ID NO: 6).

54. The conjugate of claim 52, wherein the ligand is selected from the group consisting of:

D(SfY)MGWMDf (SEQ ID NO: 7),  
D(SfY)(Nle)GW(Nle)DF (SEQ ID NO: 8), and  
EEEEAYGW(Nle)DF (SEQ ID NO: 20).

55. The conjugate of claim 52, wherein the ligand is selected from the group consisting of:

VPLPAGGGTVLTKMYPRGNHWAVGHLM (SEQ ID NO: 9),  
an N-terminal truncated derivative of  
VPLPAGGGTVLTKMYPRGNHWAVGHLM (SEQ ID NO: 9), and  
WAVGHLM (SEQ ID NO: 10).

56. The conjugate of claim 52, wherein the ligand is selected from the group consisting of:

AGCKNFFWKTFTSC (SEQ ID NO: 11), in which the two C residues are  
disulfide bonded, and  
FCFWKTCT(OH) (SEQ ID NO: 12), in which the two C residues are  
disulfide bonded.

57. The conjugate of claim 52, wherein the ligand is selected from the group consisting of:

RPLPQQFFGLM (SEQ ID NO: 13) and  
an analog of RPLPQQFFGLM (SEQ ID NO: 13).

58. The conjugate of claim 52, wherein the ligand is selected from the group consisting of:

PGTCEICAYAACTGC (SEQ ID NO: 14), in which the first and third C residues are disulfide bonded, and

PGTCEICAYAACTGC (SEQ ID NO: 14), in which the second and fourth C residues are disulfide bonded.

59. The conjugate of claim 52, wherein the ligand is selected from the group consisting of:

NDDCELCVACTGCL (SEQ ID NO: 15), in which the first and third C residues are disulfide bonded, and

NDDCELCVACTGCL (SEQ ID NO: 15), in which the second and fourth C residues are disulfide bonded.

60. The conjugate of claim 52, wherein the ligand is selected from the group consisting of:

NYCCELCNPACTGCF (SEQ ID NO: 16), in which the first and fourth C residues are disulfide bonded,

NYCCELCNPACTGCF (SEQ ID NO: 16), in which the second and fifth C residues are disulfide bonded, and

NYCCELCNPACTGCF (SEQ ID NO: 16), in which the third and sixth C residues are disulfide bonded.

61. The conjugate of claim 52, wherein the ligand is selected from the group consisting of:

HSDALFTDNYTRLRLQMAVKKYLNSILNG (SEQ ID NO: 17) and

HSDALFTDNYTRLRLQ(Nle)AVKKYLNSILNG (SEQ ID NO: 18).

62. The conjugate of any of claims 49-61, wherein the cytotoxic agent is selected from the group consisting of:

cemadotin,

a derivative of cemadotin,

a derivative of hemiasterlin,

esperamicin C,

neocarzinostatin,

maytansinoid DM1,  
7-chloromethyl-10,11 methylenedioxy-camptothecin,  
rhizoxin, and  
the halichondrin B analog, ER-086526.

63. A composition comprising the conjugate of any of claims 1-14 and a carrier.
64. A composition comprising the conjugate of any of claims 15-28 and a carrier.
65. A composition comprising the conjugate of any of claims 29-38 and a carrier.
66. A composition comprising the conjugate of any of claims 39-48 and a carrier.
67. A composition comprising the conjugate of any of claims 49-62 and a carrier
68. A method of delivering a cytotoxic agent in a cell-specific manner, which method comprises administering the conjugate of any of claims 1-14 to a collection of cells comprising a receptor to which the ligand of the conjugate binds, whereupon the cytotoxic agent is administered to the cells in a cell-specific manner.
69. The method of claim 68, wherein the cells are *in vivo*.
70. A method of delivering a cytotoxic agent in a cell-specific manner, which method comprises administering the conjugate of any of claims 15-28 to a collection of cells comprising a receptor to which the ligand of the conjugate binds, whereupon the cytotoxic agent is administered to the cells in a cell-specific manner.
71. The method of claim 70, wherein the cells are *in vivo*.
72. A method of delivering a cytotoxic agent in a cell-specific manner, which method comprises administering the conjugate of any of claims 29-38 to a collection of cells comprising a receptor to which the ligand of the conjugate binds, whereupon the cytotoxic agent is administered to the cells in a cell-specific manner.
73. The method of claim 72, wherein the cells are *in vivo*.

74. A method of delivering a cytotoxic agent in a cell-specific manner, which method comprises administering the conjugate of any of claims 39-48 to a collection of cells comprising a receptor to which the ligand of the conjugate binds, whereupon the cytotoxic agent is administered to the cells in a cell-specific manner.
75. The method of claim 74, wherein the cells are *in vivo*.
76. A method of delivering a cytotoxic agent in a cell-specific manner, which method comprises administering the conjugate of any of claims 49-62 to a collection of cells comprising a receptor to which the ligand of the conjugate binds, whereupon the cytotoxic agent is administered to the cells in a cell-specific manner.
77. The method of claim 76, wherein the cells are *in vivo*.
78. A method of treating cancer in a mammal, which method comprises administering a cancer-treating effective amount of the conjugate of any of claims 1-14 to the mammal, whereupon the mammal is treated for cancer.
79. The method of claim 78, wherein the cancer is cancer of the lung, stomach, colon, breast, or pancreas.
80. A method of treating cancer in a mammal, which method comprises administering a cancer-treating effective amount of the conjugate of any of claims 15-28 to the mammal, whereupon the mammal is treated for cancer.
81. The method of claim 80, wherein the cancer is cancer of the lung, stomach, colon, breast, or pancreas.
82. A method of treating cancer in a mammal, which method comprises administering a cancer-treating effective amount of the conjugate of any of claims 29-38 to the mammal, whereupon the mammal is treated for cancer.
83. The method of claim 82, wherein the cancer is cancer of the lung, stomach, colon, breast, or pancreas.

84. A method of treating cancer in a mammal, which method comprises administering a cancer-treating effective amount of the conjugate of any of claims 39-48 to the mammal, whereupon the mammal is treated for cancer.
85. The method of claim 84, wherein the cancer is cancer of the lung, stomach, colon, breast, or pancreas.
86. A method of treating cancer in a mammal, which method comprises administering a cancer-treating effective amount of the conjugate of any of claims 49-62 to the mammal, whereupon the mammal is treated for cancer.
87. The method of claim 86, wherein the cancer is cancer of the lung, stomach, colon, breast, or pancreas.